Access DB#

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: BEA Art Unit: 16 26 Phone Mail Box and Bldg/Room Location) &A c K EY Number 30 <u>5 - 688</u> on: <u>C M [3 E //</u> Re	Examiner # : 73 48 Serial Number: 10 sults Format Preferred (circle	7 Date: 13/13/02 1047 807 5: PAPER DISK E-MAIL
If more than one search is sub	mitted, please priorit	ize searches in order of r	
Please provide a detailed statement of th Include the elected species or structures, utility of the invention. Define any term known: Please attach a copy of the cover	e search topic, and describ keywords, synonyms, acri s that may have a special r r sheet, pertinent claims, ar	e as specifically as possible the suppose, and registry numbers, and neaning. Give examples or relevand abstract.	combine with the concept or ant citations, authors, etc, if
Title of Invention: Process	for the go.	e paratien of	this zale desputues
Inventors (please provide full names):	Pitterna a	it al.	
		··	
Earliest Priority Filing Date:	2119/96		, ,
For Sequence Searches Only Please inclu appropriate serial number.	ude all pertinent information	(parent, child, divisional, or issued	patent numbers) along with the
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Technical Informat STIC CM1 6A05	5 308-4291	LUMANN RIGHTEN LOGENSORY PATENT BRAMINE	R
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STAFF USE ONLY	******	********	********
Searcher: 1880 Search	Type of Search NA Sequence (#)	Vendors and cost wh	ere applicable
Searcher Phone #:	AA Sequençe (#)	Dialog	
Searcher Location:	Structure (#) 4	Questel/Orbit	
Date Searcher Picked Up:	Bibliographic	Dr.Link	
Date Completed:	Litigation		
Searcher Prep & Review Time:30	Fulltext	Sequence Systems	
Clerical Prep Time:	Patent Family	WWW/Internet	
Online Time:	Other	Other (specify)	

PTO-1590 (8-01)

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BioTech-Chem Library Search Results Feedback Form (Optional)



The search results generated for your recent request are attached. If you have any questions or comments (compliments or complaints) about the scope or the results of the search, please contact the **BioTech-Chem** searcher who conducted the search or contact:

Mary Hale, Supervisor, 308-4258 CM-1 Room 1E01

Voluntary Results Feedback Form
► I am an examiner in Workgroup: (Example: 1610)
Relevant prior art found, search results used as follows:
102 rejection
103 rejection
Cited as being of interest.
Helped examiner better understand the invention.
Helped examiner better understand the state of the art in their technology.
Types of relevant prior art found:
☐ Foreign Patent(s)
Non-Patent Literature (journal articles, conference proceedings, new product announcements etc.)
> Relevant prior art not found:
Results verified the lack of relevant prior art (helped determine patentability).
Search results were not useful in determining patentability or understanding the invention.
Other Comments:

•	
•	
	·

=> fil reg; d stat que 17; d que nos 111;d que nos 112; fil capl; d que nos 117; fil uspatf; d que nos 124 FILE 'REGISTRY' ENTERED AT 10:42:35 ON 13 DEC 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

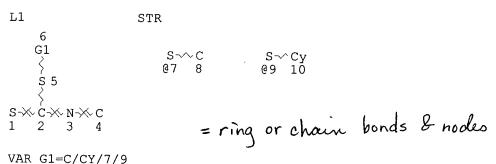
STRUCTURE FILE UPDATES: 12 DEC 2002 HIGHEST RN 476148-76-2 DICTIONARY FILE UPDATES: 12 DEC 2002 HIGHEST RN 476148-76-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf



NODE ATTRIBUTES: NSPEC IS RC AT1 NSPEC IS RC AT2 NSPEC IS RC 3 ΑT IS RC ΑT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED full file search done on this structure

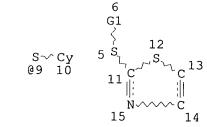
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L3 51272 SEA FILE=REGISTRY SSS FUL L1 L4STR

@7 8



subset searches done for product &

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VAR G1=C/CY/7/9
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 11
STEREO ATTRIBUTES: NONE
L5
   6
   G1
                   S-√C
                               S√Cy
                               @9 10
                   @7 8
                                              reactant
   $ 5
2 3 4 11 12
VAR G1=C/CY/7/9
NODE ATTRIBUTES:
       IS RC
                  AΤ
NSPEC
       IS RC
                  AΤ
NSPEC
                       3
       IS RC
                  AT
NSPEC
                  AΤ
      IS RC
NSPEC
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12
STEREO ATTRIBUTES: NONE
           6053 SEA FILE=REGISTRY SUB=L3 SSS FUL (L4 OR L5)
L7
                                                         6053 ANSWERS
100.0% PROCESSED 36413 ITERATIONS
SEARCH TIME: 00.00.02
                STR
L1
          51272 SEA FILE=REGISTRY SSS FUL L1
L3
                STR
L4
                STR
L5
           6053 SEA FILE=REGISTRY SUB=L3 SSS FUL (L4 OR L5)
L7
         418236 SEA FILE=REGISTRY ABB=ON 16.299/RID
L10
                                                       Product
           5717 SEA FILE=REGISTRY ABB=ON L10 AND L7
L11
                STR
L1
          51272 SEA FILE=REGISTRY SSS FUL L1
L3
                STR
 L4
 L5
                STR
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6053 SEA FILE=REGISTRY SUB=L3 SSS FUL (L4 OR L5)

418236 SEA FILE=REGISTRY ABB=ON 16.299/RID

5717 SEA FILE=REGISTRY ABB=ON L10 AND L7

336 SEA FILE=REGISTRY ABB=ON L7 NOT L11

L7

L10

L11

L12

Reactant

FILE 'CAPLUS' ENTERED AT 10:42:35 ON 13 DEC 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 13 Dec 2002 VOL 137 ISS 25 FILE LAST UPDATED: 12 Dec 2002 (20021212/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

```
L1
                STR
L3
          51272 SEA FILE=REGISTRY SSS FUL L1
L4
                STR
L5
L7
           6053 SEA FILE=REGISTRY SUB=L3 SSS FUL (L4 OR L5)
L10
         418236 SEA FILE=REGISTRY ABB=ON
                                         16.299/RID
L11
           5717 SEA FILE=REGISTRY ABB=ON L10 AND L7
L12
            336 SEA FILE=REGISTRY ABB=ON L7 NOT L11
           978 SEA FILE=CAPLUS ABB=ON L11/P - citations discussing preparation
L13
L14
           151 SEA FILE=CAPLUS ABB=ON L12
L17
             1 SEA FILE=CAPLUS ABB=ON L13 AND L14
```

FILE 'USPATFULL' ENTERED AT 10:42:35 ON 13 DEC 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS) .

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 12 Dec 2002 (20021212/PD) FILE LAST UPDATED: 12 Dec 2002 (20021212/ED) HIGHEST GRANTED PATENT NUMBER: US6493878 HIGHEST APPLICATION PUBLICATION NUMBER: US2002188996 CA INDEXING IS CURRENT THROUGH 12 Dec 2002 (20021212/UPCA) ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 12 Dec 2002 (20021212/PD) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2002 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2002

```
>>> USPAT2 is now available. USPATFULL contains full text of the
                                                                      <<<
>>> original, i.e., the earliest published granted patents or
                                                                      <<<
>>> applications. USPAT2 contains full text of the latest US
                                                                      <<<
    publications, starting in 2001, for the inventions covered in
                                                                      <<<
>>> USPATFULL. A USPATFULL record contains not only the original
                                                                      <<<
>>> published document but also a list of any subsequent
                                                                      <<<
>>> publications. The publication number, patent kind code, and
                                                                      <<<
>>> publication date for all the US publications for an invention
                                                                      <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL
                                                                      <<<
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>>> records and may be searched in standard search fields, e.g., /PN, <<<
                                                                       <<<
    /PK, etc.
>>>
                                                                       <<<
    USPATFULL and USPAT2 can be accessed and searched together
>>>
    through the new cluster USPATALL. Type FILE USPATALL to
                                                                       <<<
>>>
                                                                       <<<
    enter this cluster.
>>>
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>>>
    Use USPATALL when searching terms such as patent assignees,
                                                                       <<<
>>>
>>> classifications, or claims, that may potentially change from
                                                                       <<<
                                                                       <<<
    the earliest to the latest publication.
```

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
STR
L1
          51272 SEA FILE=REGISTRY SSS FUL L1
L3
                STR
L4
                STR
L5
           6053 SEA FILE=REGISTRY SUB=L3 SSS FUL (L4 OR L5)
L7
         418236 SEA FILE=REGISTRY ABB=ON 16.299/RID
L10
           5717 SEA FILE=REGISTRY ABB=ON L10 AND L7
L11
            336 SEA FILE=REGISTRY ABB=ON L7 NOT L11
L12
           1822 SEA FILE=REGISTRY ABB=ON L11 AND USPATFULL/LC
L20
            63 SEA FILE=REGISTRY ABB=ON L12 AND USPATFULL/LC
L21
            488 SEA FILE=USPATFULL ABB=ON L20
L22
             20 SEA FILE=USPATFULL ABB=ON L21
L23
             2 SEA FILE=USPATFULL ABB=ON L22 AND L23
L24
```

=> dup rem 117,124

FILE 'CAPLUS' ENTERED AT 10:42:41 ON 13 DEC 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE 'USPATFULL' ENTERED AT 10:42:41 ON 13 DEC 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L17
PROCESSING COMPLETED FOR L24
L34 3 DUP REM L17 L24 (0 DUPLICATES REMOVED)
ANSWER '1' FROM FILE CAPLUS
ANSWERS '2-3' FROM FILE USPATFULL

=> d ibib abs hitstr 134 1-3

L34 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1998:424237 CAPLUS

DOCUMENT NUMBER: 129:95484

TITLE: Preparation of thiazoles

INVENTOR(S): Pitterna, Thomas; Szczepanski, Henry; Maienfisch, Peter; Huter, Ottmar Franz; Rapold, Thomas; Senn,

Marcel; Gobel, Thomas; O'Sullivan, Anthony Cornelius; Seifert, Gottfried

PATENT ASSIGNEE(S): Novartis A.-G., Switz. SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

```
WO 9827074
                      A1
                            19980625
                                           WO 1997-EP7087
                                                            19971217
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
             FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
             GA, GN, ML, MR, NE, SN, TD, TG
     AU 9857592
                      A1
                            19980715
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                       Α1
                            19991006
                                           EP 1997-953841
                                                            19971217
        R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, IE, FI
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                       Α
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                                           CN 1997-180777
                                                           19971217
     CN 1086388
                       В
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     JP 2001506254
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     ZA 9711358
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                            19980708
                                           ZA 1997-11358
                                                            19971218
     US 6121455
                                           US 1999-331432
                       Α
                            20000919
                                                            19990813
     US 6369233
                       В1
                            20020409
                                           US 2000-628392
                                                            20000801
PRIORITY APPLN. INFO.:
                                        CH 1996-3124 A 19961219
                                                         W 19971217
                                        WO 1997-EP7087
                                        US 1999-331432
                                                         A3 19990813
```

OTHER SOURCE(S):

CASREACT 129:95484; MARPAT 129:95484

$$R \xrightarrow{S} \xrightarrow{S} X \quad HX \qquad IV$$

AB The title compds. [I; Q = CH, N; Y = NO2, CN; Z = CHR3, O, NR3, S; R1, R2 = H, (un)substituted C1-6 alkyl; R1R2 = alkylene which may addnl. contain a hetero atom selected from the group consisting of NR5, O and S; R3 = H, (un)substituted C1-12 alkyl] were prepd. by a) reacting dithiocarbamate II

[R = (un) substituted C1-12 alkyl, C2-4 alkenyl, C2-4 alkynyl, etc.; X1 = a leaving group)] with a halogenating agent to form thiazole III [X = halo; m = 0-1], or by b) converting II by means of a halogenating agent into thiazoline IV, optionally c) converting IV into III, d) reacting III with the compd. V to form thiazole VI, e) or reacting IV with V to form thiazole VI, and f) treatment of compd. VI with chlorinating agent.

1T 192439-34-2P 192439-36-4P 192439-37-5P 192439-38-6P 192439-39-7P 192439-40-0P 192439-46-6P 192439-47-7P 192439-48-8P 192723-46-9P 209548-64-1P 209548-65-2P 209548-66-3P 209548-71-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of thiazoles)

RN 192439-34-2 CAPLUS

CN Thiazole, 5-(chloromethyl)-2-[(phenylmethyl)thio]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 192439-36-4 CAPLUS CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-5-[[2-(methylthio)-5-thiazolyl]methyl]-N-nitro- (9CI) (CA INDEX NAME)

RN 192439-37-5 CAPLUS CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-N-nitro-5-[[2-(propylthio)-5-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

RN 192439-38-6 CAPLUS CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-N-nitro-5-[[2-[(phenylmethyl)thio]-5-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

O N—
$$CH_2$$
— N S— CH_2 — N N— NO_2 Me

RN 192439-39-7 CAPLUS

CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-5-[[2-[(4-methylphenyl)thio]-5-thiazolyl]methyl]-N-nitro- (9CI) (CA INDEX NAME)

Ме

RN 192439-40-0 CAPLUS

CN 1-Pentanethiol, 5-[[5-[[dihydro-5-methyl-4-(nitroimino)-2H-1,3,5-oxadiazin-3(4H)-yl]methyl]-2-thiazolyl]thio]- (9CI) (CA INDEX NAME)

RN 192439-46-6 CAPLUS

CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-N-nitro-5-[[2-(phenylthio)-5-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

RN 192439-47-7 CAPLUS

CN 4H-1,3,5-Oxadiazin-4-imine, 3-[[2-(cyclohexylthio)-5-thiazolyl]methyl]tetrahydro-5-methyl-N-nitro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & N \\ S & CH_2 \\ O_2N-N & N \\ N & O \\ Me \end{array}$$

RN 192439-48-8 CAPLUS

CN Thiazole, 5-(chloromethyl)-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 192723-46-9 CAPLUS

CN Carbamodithioic acid, (2-chloro-2-propenyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2 & \text{S} \\ || & || \\ \text{C1-C-CH}_2 - \text{NH-C-S-CH}_2 - \text{Ph} \end{array}$$

RN 209548-64-1 CAPLUS

CN Carbamodithioic acid, (2-chloro-2-propenyl)-, phenyl ester (9CI) (CA INDEX NAME)

RN 209548-65-2 CAPLUS

CN Carbamodithioic acid, (2-chloro-2-propenyl)-, cyclohexyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{S} & \mathbf{CH_2} \\ \parallel & \parallel \\ \mathbf{S-C-NH-CH_2-C-C1} \\ \hline \end{array}$$

RN 209548-66-3 CAPLUS

CN Carbamodithioic acid, (2-chloro-2-propenyl)-, 1,2-ethanediyl ester (9CI) (CA INDEX NAME)

RN 209548-71-0 CAPLUS

CN Benzenemethanethiol, 4-[[[5-[[dihydro-5-methyl-4-(nitroimino)-2H-1,3,5oxadiazin-3(4H)-yl]methyl]-2-thiazolyl]thio]methyl]- (9CI) (CA INDEX

$$CH_2$$
 CH_2
 CH_2
 CH_2
 CH_2
 CH_2
 O_2N-N
 N
 O
 N

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 2 OF 3 USPATFULL

ACCESSION NUMBER:

2002:75588 USPATFULL

TITLE:

Process for the preparation of thiazole derivatives

INVENTOR(S):

Pitterna, Thomas, Basel, SWITZERLAND Szczepanski, Henry, Wallbach, SWITZERLAND Maienfisch, Peter, Rodersdorf, SWITZERLAND

Huter, Ottmar Franz, Lorrach, GERMANY, FEDERAL REPUBLIC

Rapold, Thomas, Wallbach, SWITZERLAND Senn, Marcel, Blonay, SWITZERLAND

Gobel, Thomas, Lorrach, GERMANY, FEDERAL REPUBLIC OF O'Sullivan, Anthony Cornelius, Basel, SWITZERLAND

Seifert, Gottfried, Magden, SWITZERLAND

PATENT ASSIGNEE(S):

Syngenta Crop Protection, Inc., Greensboro, NC, United

States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:	US 6369233 US 2000-628392 Division of Ser. US 6121455		20020409 20000801 (9) 331432, now pate	nted, Pat. No.

NUMBER DATE PRIORITY INFORMATION: CH 1996-3124 19961219

DOCUMENT TYPE: FILE SEGMENT:

Utility GRANTED

PRIMARY EXAMINER: ASSISTANT EXAMINER: Higel, Floyd D. Sackey, Ebenezer

LEGAL REPRESENTATIVE:

Teoli, Jr., William A., Allen, Rose M.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

0 Drawing Figure(s); 0 Drawing Page(s) NUMBER OF DRAWINGS:

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The Invention relates to a process for the preparation of a compound of the formula ##STR1##

wherein Q, Y, Z, R.sub.1, R.sub.2, R.sub.3, R.sub.4 and R.sub.5 are as defined in the specification, which comprises

a) reacting a compound of the formula ##STR2##

with a halogenating agent to form a compound of the formula ##STR3##

b) converting a compound of formula (II) by means of a halogenating agent into a compound of the formula ##STR4##

optionally

- c) converting the compound of formula (IV) into a compound of formula (III);
- d) converting a compound of formula (III) by means of a compound of the formula ##STR5##
- e) converting a compound (IV) by means of a compound (V) into a compound (VI); and
- f) converting a compound (VI) by means of a chlorinating agent into a compound (I);

a compound (IV); to a process for the preparation of a compound (III) and to a process for the preparation of a compound (IV).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 192439-34-2P 192439-36-4P 192439-37-5P

192439-38-6P 192439-39-7P 192439-40-0P

192439-46-6P 192439-47-7P 192439-48-8P

192723-46-9P 209548-64-1P 209548-65-2P

209548-66-3P 209548-71-0P

(prepn. of thiazoles)

RN 192439-34-2 USPATFULL

Thiazole, 5-(chloromethyl)-2-[(phenylmethyl)thio]-, hydrochloride (9CI) CN (CA INDEX NAME)

● HCl

192439-36-4 USPATFULL RN

4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-5-[[2-(methylthio)-5-CN thiazolyl]methyl]-N-nitro- (9CI) (CA INDEX NAME)

RN 192439-37-5 USPATFULL

CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-N-nitro-5-[[2-(propylthio)-5-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

RN 192439-38-6 USPATFULL

CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-N-nitro-5-[[2- [(phenylmethyl)thio]-5-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

RN 192439-39-7 USPATFULL

CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-5-[[2-[(4-methylphenyl)thio]-5-thiazolyl]methyl]-N-nitro- (9CI) (CA INDEX NAME)

RN 192439-40-0 USPATFULL

CN 1-Pentanethiol, 5-[[5-[[dihydro-5-methyl-4-(nitroimino)-2H-1,3,5-oxadiazin-3(4H)-yl]methyl]-2-thiazolyl]thio]- (9CI) (CA INDEX NAME)

O N
$$CH_2$$
 S $S-(CH_2)_5-SH$
N $N-NO_2$
Me

RN 192439-46-6 USPATFULL

CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-N-nitro-5-[[2-(phenylthio)-5-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

RN 192439-47-7 USPATFULL

CN 4H-1,3,5-Oxadiazin-4-imine, 3-[[2-(cyclohexylthio)-5-thiazolyl]methyl]tetrahydro-5-methyl-N-nitro- (9CI) (CA INDEX NAME)

RN 192439-48-8 USPATFULL

CN Thiazole, 5-(chloromethyl)-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 192723-46-9 USPATFULL

CN Carbamodithioic acid, (2-chloro-2-propenyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2 & \text{S} \\ || & || \\ \text{Cl-C-CH}_2 - \text{NH-C-S-CH}_2 - \text{Ph} \end{array}$$

RN 209548-64-1 USPATFULL

Carbamodithioic acid, (2-chloro-2-propenyl)-, phenyl ester (9CI) (CA CN INDEX NAME)

RN 209548-65-2 USPATFULL

Carbamodithioic acid, (2-chloro-2-propenyl)-, cyclohexyl ester (9CI) (CA CN INDEX NAME)

RN 209548-66-3 USPATFULL

CN Carbamodithioic acid, (2-chloro-2-propenyl)-, 1,2-ethanediyl ester (9CI) (CA INDEX NAME)

209548-71-0 USPATFULL RN

Benzenemethanethiol, 4-[[[5-[[dihydro-5-methyl-4-(nitroimino)-2H-1,3,5-CN oxadiazin-3(4H)-yl]methyl]-2-thiazolyl]thio]methyl]- (9CI) (CA INDEX

$$\begin{array}{c|c} \text{HS-CH}_2 \\ \text{CH}_2 - \text{S-N} \\ \text{CH}_2 \\ \text{O}_2 \text{N-N} \\ \text{N} & \text{O} \end{array}$$

L34 ANSWER 3 OF 3 USPATFULL

ACCESSION NUMBER:

2000:125231 USPATFULL

TITLE: INVENTOR(S):

Process for the preparation of thiazole derivatives

Pitterna, Thomas, Basel, Switzerland Szczepanski, Henry, Wallbach, Switzerland Maienfisch, Peter, Rodersdorf, Switzerland

Huter, Ottmar Franz, Lorrach, Germany, Federal Republic

of

Page 14

Rapold, Thomas, Wallbach, Switzerland Senn, Marcel, Blonay, Switzerland

Gobel, Thomas, Lorrach, Germany, Federal Republic of O'Sullivan, Anthony Cornelius, Basel, Switzerland

Seifert, Gottfried, Magden, Switzerland

PATENT ASSIGNEE(S): Novartis Crop Protection, Inc., Greensboro, NC, United

States (U.S. corporation)

	NUMBER	KIND DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 6121455 WO 9827074 US 1999-331432 WO 1997-EP7087	13330010	(9) PCT 371 date PCT 102(e) date

NUMBER DATE

PRIORITY INFORMATION: CH 1996-3124 19961219

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: McKane, Joseph ASSISTANT EXAMINER: Sackey, Ebenezer

LEGAL REPRESENTATIVE: Peabody, III, John D., Teoli, Jr., William A.

NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 1454

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to a process for the preparation of a compound of the formula ##STR1## and, where applicable, its E/Z-isomers, mixtures of E/Z-isomers and/or tautomers, in each case in free form or in salt form, wherein

Q is CH or N,

Y is NO.sub.2 or CN,

Z is CHR.sub.3, O, NR.sub.3 or S,

R.sub.1 and R.sub.2 are either each independently of the other hydrogen or unsubstituted or R.sub.4 -substituted C.sub.1 -C.sub.8 alkyl, or together form an alkylene bridge having two or three carbon atoms, and said alkylene bridge may additionally contain a hetero atom selected from the group consisting of NR.sub.5, O and S,

R.sub.4 is unsubstituted or substituted aryl or heteroaryl, and

R.sub.5 is H or C.sub.1 -C.sub.12 alkyl;

which comprises

a) reacting a compound of the formula #STR2## and, where applicable, its E/Z-isomers, mixtures of E/Z-isomers and/or tautomers, in each case in free form or in salt form, which is known or can be prepared by processes known.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 192439-34-2P 192439-36-4P 192439-37-5P 192439-38-6P 192439-39-7P 192439-40-0P

192439-46-6P 192439-47-7P 192439-48-8P 192723-46-9P 209548-64-1P 209548-65-2P 209548-66-3P 209548-71-0P

(prepn. of thiazoles)

RN 192439-34-2 USPATFULL

CN · Thiazole, 5-(chloromethyl)-2-[(phenylmethyl)thio]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 192439-36-4 USPATFULL

CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-5-[[2-(methylthio)-5-thiazolyl]methyl]-N-nitro- (9CI) (CA INDEX NAME)

RN 192439-37-5 USPATFULL

CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-N-nitro-5-[[2-(propylthio)-5-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

RN 192439-38-6 USPATFULL

CN 4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-N-nitro-5-[[2-[(phenylmethyl)thio]-5-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

RN 192439-39-7 USPATFULL

4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-5-[[2-[(4-CN methylphenyl)thio]-5-thiazolyl]methyl]-N-nitro- (9CI) (CA INDEX NAME)

192439-40-0 USPATFULL RN

1-Pentanethiol, 5-[[5-[[dihydro-5-methyl-4-(nitroimino)-2H-1,3,5-oxadiazin-CN 3(4H)-yl]methyl]-2-thiazolyl]thio]- (9CI) (CA INDEX NAME)

O N
$$CH_2$$
 S $S-(CH_2)_5-SH$

N $N-NO_2$

Me

192439-46-6 USPATFULL RN

4H-1,3,5-Oxadiazin-4-imine, tetrahydro-3-methyl-N-nitro-5-[[2-(phenylthio)-CN 5-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

RN 192439-47-7 USPATFULL

4H-1,3,5-Oxadiazin-4-imine, 3-[[2-(cyclohexylthio)-5-CN thiazolyl]methyl]tetrahydro-5-methyl-N-nitro- (9CI) (CA INDEX NAME)

RN 192439-48-8 USPATFULL

CN Thiazole, 5-(chloromethyl)-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 192723-46-9 USPATFULL

CN Carbamodithioic acid, (2-chloro-2-propenyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2 & \text{S} \\ || & || \\ \text{Cl-C-CH}_2\text{-NH-C-S-CH}_2\text{-Ph} \end{array}$$

RN 209548-64-1 USPATFULL

Carbamodithioic acid, (2-chloro-2-propenyl)-, phenyl ester (9CI) (CA CN INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2 & \text{S} \\ \parallel & \parallel \\ \text{Cl-C-CH}_2\text{-NH-C-SPh} \end{array}$$

RN209548-65-2 USPATFULL

Carbamodithioic acid, (2-chloro-2-propenyl)-, cyclohexyl ester (9CI) (CA CN INDEX NAME)

209548-66-3 USPATFULL RN

CN Carbamodithioic acid, (2-chloro-2-propenyl)-, 1,2-ethanediyl ester (9CI) (CA INDEX NAME)

209548-71-0 USPATFULL RN

Benzenemethanethiol, 4-[[[5-[[dihydro-5-methyl-4-(nitroimino)-2H-1,3,5-oxadiazin-3(4H)-yl]methyl]-2-thiazolyl]thio]methyl]- (9CI) (CA INDEX CN

$$\begin{array}{c|c} \text{HS-CH}_2 \\ \hline \\ \text{CH}_2 - \text{S} \\ \hline \\ \text{O}_2 \text{N-N} \\ \text{N} \\ \hline \\ \text{O} \end{array}$$

=> fil casre; d stat que 132 FILE 'CASREACT' ENTERED AT 10:43:05 ON 13 DEC 2002 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE CONTENT:1907 - 8 Dec 2002 VOL 137 ISS 23

Some records from 1974 to 1991 are derived from the ZIC/VINITI data file and provided by InfoChem and some records are produced using some INPI data from the period prior to 1986.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Crossover limits have been increased. See HELP RNCROSSOVER for details.

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

 $S = C \sim N \sim C \sim C = C$ 2 3 4 18 19

NODE ATTRIBUTES:

NSPEC IS RC ΑT 1 NSPEC IS RC 2 AΤ IS RC NSPEC ΑT 3 IS RC NSPEC AT4 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED full file search done on this structure

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

L29 43 SEA FILE=CASREACT SSS FUL L27 (117 REACTIONS)

L30

RRT 5 S $S = C \sim N \sim C \sim C = C$ 3 4 18 19

PRO

subset search done on this structure

NODE ATTRIBUTES:

NSPEC IS RC AΤ NSPEC IS RC AT 2 NSPEC IS RC AT 3 NSPEC IS RC AT 4 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L32 1 SEA FILE=CASREACT SUB=L29 SSS FUL L30 (3 REACTIONS)

100.0% DONE 3 VERIFIED 3 HIT RXNS 1 DOCS

SEARCH TIME: 00.00.01

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L32 ANSWER 1 OF 1 CASREACT COPYRIGHT 2002 ACS ACCESSION NUMBER: 129:95484 CASREACT

TITLE: Preparation of thiazoles

INVENTOR(S): Pitterna, Thomas; Szczepanski, Henry; Maienfisch, Peter; Huter, Ottmar Franz; Rapold, Thomas; Senn,

Marcel; Gobel, Thomas; O'Sullivan, Anthony Cornelius;

Seifert, Gottfried Novartis A.-G., Switz. PCT Int. Appl., 47 pp.

SOURCE: PCT Int. Appl. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PAT	ENT	NO.		KI	KIND DATE APPLICATION NO.					DATE							
WO		AL, DK, KP, NO, UA,	AM, EE, KR, NZ, UG,	AT, ES, KZ, PL, US, KE,	AU, FI, LC, PT, UZ, LS,	AZ, GB, LK, RO, VN,	BA, GE, LR, RU, YU, SD,	BB, GH, LS, SD, ZW, SZ,	BG, GM, LT, SE, AM, UG,	BR, GW, LU, SG, AZ,	97-E: BY, HU, LV, SI, BY, AT,	CA, ID, MD, SK, KG, BE,	CH, IL, MG, SL, KZ, CH,	1997; CN, IS, MK, TJ, MD, DE, CF,	CU, JP, MN, TM, RU, DK,	CZ, KE, MW, TR, TJ, ES,	MX, TT, TM FI,
		GA.	GN.	ML.	MR.	NE,	SN,	TD,	ΤG								
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OTHER SOURCE(S): MARPAT 129:95484

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I; Q = CH, N; Y = NO2, CN; Z = CHR3, O, NR3, S; R1, R2= H, (un) substituted C1-6 alkyl; R1R2 = alkylene which may addnl. contain a hetero atom selected from the group consisting of NR5, \bar{O} and S; R3 = H, (un) substituted C1-12 alkyl] were prepd. by a) reacting dithiocarbamate II [R = (un) substituted C1-12 alkyl, C2-4 alkenyl, C2-4 alkynyl, etc.; X1 = a]leaving group)] with a halogenating agent to form thiazole III [X = halo; m = 0-1, or by b) converting II by means of a halogenating agent into thiazoline IV, optionally c) converting IV into III, d) reacting III with the compd. V to form thiazole VI, e) or reacting IV with V to form thiazole VI, and f) treatment of compd. VI with chlorinating agent. REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RX(1) OF 16 ...A ===> B

CH2
$$\stackrel{\text{H}}{\downarrow}$$
 $\stackrel{\text{N}}{\downarrow}$ $\stackrel{\text{N}}{\downarrow}$

В

RX(1) RCT A 192723-46-9

> STAGE (1) RGT C 144-55-8 NaHCO3 SOL 108-90-7 PhCl

STAGE(2) RGT D 7791-25-5 SO2C12

STAGE(3) SOL 110-54-3 Hexane

STAGE (4) RGT E 7647-01-0 HC1 PRO B **192439-34-2**

RX(10) OF 16 COMPOSED OF RX(2), RX(5)H + Q ===> RRX(10)

10/047807

PhS
$$\stackrel{S}{\underset{H}{\overset{}}}$$
 $\stackrel{C1}{\underset{CH_2}{\overset{}}}$ $\stackrel{N}{\underset{N}{\overset{}}}$ $\stackrel{Me}{\underset{N}{\overset{}}}$ $\stackrel{S}{\underset{N}{\overset{}}}$ $\stackrel{N}{\underset{N}{\overset{}}}$ $\stackrel{Me}{\underset{N}{\overset{}}}$ $\stackrel{S}{\underset{N}{\overset{}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}{\overset{N}}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}{\overset{N}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}{\overset{N}}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{S}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}{\overset{N}}}}}$ $\stackrel{N}{\underset{N}{\overset{N}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}{\overset{N}}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}{\overset{N}}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}}{\overset{N}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}{\overset{N}}{\overset{N}{\overset{N}}{\overset{N}}}}}$ $\stackrel{N}{\underset{N}{\overset{N}{\overset{N}{\overset{N}}{\overset{N}}}}}$

R

RCT H 209548-64-1 RX(2)

> STAGE(1) SOL 74-97-5 BrCH2Cl

STAGE(2) RGT J 7726-95-6 Br2 PRO I 209548-68-5

RX(5) RCT Q 153719-38-1

> STAGE(1) RGT S 584-08-7 K2CO3, T 36273-11-7 4-Aza-1azoniabicyclo[2.2.2]octane, 1-(chloromethyl)-, chloride SOL 78-93-3 EtCOMe

STAGE(2) RCT I 209548-68-5

STAGE(3) RGT U 7732-18-5 Water

STAGE (4) RGT E 7647-01-0 HCl PRO R **192439-46-6**

RX(11) OF 16 COMPOSED OF RX(3), RX(6) RX(11) L + Q ===> W

W

RX(3) RCT L 209548-65-2

STAGE(1) SOL 75-05-8 MeCN

STAGE (2)

RGT J 7726-95-6 Br2

PRO M 209548-69-6

RX(6) RCT Q 153719-38-1

STAGE(1)

RGT S 584-08-7 K2CO3, T 36273-11-7 4-Aza-1-

azoniabicyclo[2.2.2]octane, 1-(chloromethyl)-, chloride

SOL 78-93-3 EtCOMe

STAGE(2)

RCT M 209548-69-6

STAGE(3)

RGT U 7732-18-5 Water

STAGE (4)

RGT E 7647-01-0 HCl

PRO W 192439-47-7

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